PCT/KR2004/001557

# PATENT COOPERATION TREATY

# PCT

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

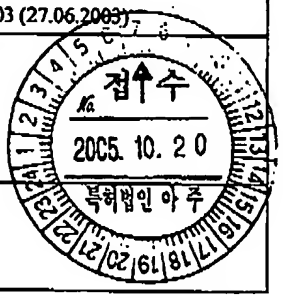
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

| Applicant's or agent's file reference YJP04638/PCT | FOR FURTHER ACTION | See Form PCT/IPEA/416 |
|---|---|---|
| International application No. **PCT/KR2004/001557** | International filing date *(day/month/year)* **25 JUNE 2004 (25.06.2004)** | Priority date *(day/month/year)* 27 JUNE 2003 (27.06.2003) |

International Patent Classification (IPC) or national classification and IPC

**IPC7 C07D 501/24**




Applicant

**YUNGJIN PHARMACEUTICAL CO., LTD. et al**

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets, including this cover sheet.
3. This report is also accompanied by ANNEXES, comprising:
   a. ☐ (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows:
      ☐ sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).
      ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.
   b. ☐ *(sent to the International Bureau only)* a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:
   - ☒ Box No. I Basis of the report
   - ☐ Box No. II Priority
   - ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
   - ☐ Box No. IV Lack of unity of invention
   - ☒ Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
   - ☐ Box No. VI Certain documents cited
   - ☐ Box No. VII Certain defects in the international application
   - ☒ Box No. VIII Certain observations on the international application

| Date of submission of the demand | Date of completion of this report |
|---|---|
| **09 NOVEMBER 2004 (09.11.2004)** | 10 OCTOBER 2005 (10.10.2005) |

| Name and mailing address of the IPEA/KR | Authorized officer |
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Form PCT/IPEA/409 (cover sheet) (January 2004)

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**Box No. I Basis of the report**

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

   ☒ This report is based on translations from the original language into the following language English, which is the language of a translation furnished for the purposes of:

   ☐ international search (under Rules 12.3 and 23.1(b))
   ☒ publication of the international application (under Rule 12.4)
   ☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this reort as "originally filed" and are not annexed to this report)*:

   ☒ the international application as originally filed/furnished

   ☐ the description:
   pages _____ as originally filed/furnished
   pages* _____ received by this Authority on _____
   pages* _____ received by this Authority on _____

   ☐ the claims:
   pages _____ as originally filed/furnished
   pages* _____ as amended (together with any statment) under Article 19
   pages* _____ received by this Authority on _____
   pages* _____ received by this Authority on _____

   ☐ the drawings:
   pages _____ as originally filed/furnished
   pages* _____ received by this Authority on _____
   pages* _____ received by this Authority on _____

   ☐ the sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:
   ☐ the description, pages _____
   ☐ the claims, Nos. _____
   ☐ the drawings, sheets _____
   ☐ the sequence listing *(specify)* : _____
   ☐ any table(s) related to sequence listing *(specify)* : _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
   ☐ the description, pages _____
   ☐ the claims, Nos. _____
   ☐ the drawings, sheets _____
   ☐ the sequence listing *(specify)*: _____
   ☐ any table(s) related to sequence listing *(specify)* : _____

* *If item 4 applies, some or all of those sheets may be marked "superseded."*

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**Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

1. Statement

| | | | |
|---|---|---|---|
| Novelty (N) | Claims | 1-8 | YES |
| | Claims | | NO |
| Inventive step (IS) | Claims | 2 | YES |
| | Claims | 1,3-8 | NO |
| Industrial applicability (IA) | Claims | 1-8 | YES |
| | Claims | | NO |

2. Citations and explanations (Rule 70.7)

Reference is made to the following documents:

D1: WO 99/67255 A1, 29 December 1999
D2: WO 02/04464 A1, 17 January 2002
D3: US 3983113 A, 28 September 1976
D4: GB 1486493 A, 21 September 1977
D5: JP 61-063684 A, 1 April 1986
D6: WO 02/096430 A1, 5 December 2002

The present invention relates to an antibiotic composition including cephalosporin derivatives as chemical formula 1(claims 1,2 and 8) and a method of manufacturing said cephalosporin derivatives(claims 3-7)

D1 discloses cephalosporin derivatives with 7-phenylthioacetamido and 3-heterocyclic ring(isooxazol)-vinyl which are useful for the treatment and prophylaxis of infectious diseases. D2 relates to a novel cephalosporin compound with aromatic six membered, optionally fused heterocyclic ring attached on 3-vinyl position, which useful as a antibiotic agent. 7beta-(alpha-substituted acetamido)-3-[3-(1,2,4-triazol-5-ylthio)-prop-1-(t)-enyl]-ceph-3-em-4-carboxylic acid derivatives and salt thereof; and ester intermediates and processes for preparing such compounds are presented in D3. The compounds are useful as anti-bacterials and are active against a wide variety of gram positive and gram negative bacteria. D4 relates to 3-[2-(1-alkyl-1H-tetrazol-5-yl)ethenyl]-cephalosporin derivatives and to a process for preparing the same. In D5, N-acylation of benzhydryl 7beta-amino-3-methylthiomethyl-3-cephem-4-carboxylate with (Z)-beta-cyanovinylthioacetic acid gives a new cephalosporin compound. D6 provides a cephalosporin derivaties as anti-cancer agents.

1) Novelity

The subject matter of the present invention discloses a composition having 3-(isooxazol-5-yl)-7-phenylthioacetamido cephalosporin derivatives. D1-D6 do not disclose any 3-(isooxazol-5-yl)vinyl-cephalosporin derivatives. Therefore, all the claims of the present claim is novel(Article 33(2) PCT).

(Continued on Supplemental Sheet)

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**Box No. VIII Certain observations on the international application**

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

Claims 3 include "base", "organic solvent", which render the determination of the exact sepoe of the claim difficult, contrary to Art. 6 PCT.

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**Supplemental Box**

**In case the space in any of the preceding boxes is not sufficient.**
Continuation of:

2) Inventive Step

The technical feature of the present claim is slightly different from formula 11B of D1, formula I of D2, and formula IV of D3 in the substituent bonded to 3 position of cephalosporin: isooxazol in D1; aromatic six membered, optionally fused heterocyclic ring in D2; 1,2,4-triazol-5-yl in D4. Therefore, the heterocyclic ring of the present invention can be easily modified by a person skilled in the art without any particular difficulty. There is no evidence which testifies the assertion in the detailed description that the antibiotic effect of the present composition is superior to that of the composition of D1, D2 and D3. Accordingly, claims 1 and 8 cannot be considered to be inventive, and the method of manufacturing said composition of claims 3-7 comes within the scope of customary practice by a person skilled in the art. Therefore, claims 3-7 do not involve an inventive step under PCT Article 33(3).

3)Industrial Applicability

The present invention, relating to cephalosporin derivatives and the method of manufacturing the same, is
considered to be industrially applicable(Article 33(4) PCT).